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ANSWER 10 OF 21 CAPLUS COPYRIGHT 2001 ACS
L4
    1993:560315 CAPLUS
AN
     119:160315
DN
     Preparation of 4-amino-5-pyrimidinecarboxylic acids as ulcer inhibitors
TI
     Shimamura, Hiroshi; Terajima, Koji; Kawase, Akito; Ishizuka, Yasuhiro;
IN
     Kimura, Isami; Kamya, Akyoshi; Kataoka, Mikiko; Sato, Makoto
     Morishita Ruseru Kk, Japan
PΑ
     Jpn. Kokai Tokkyo Koho, 24 pp.
SO
     CODEN: JKXXAF
     Patent
DT
     Japanese
LA
FAN.CNT 1
                                           APPLICATION NO.
                                                            DATE
                           DATE
                      KIND
     PATENT NO.
                                           _____
                                                            _____
                                           JP 1991-299822
                                                            19911018
                           19930507
                      A2
     JP 05112559
PΙ
     MARPAT 119:160315
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GT
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$$R^{1}$$
 N
 X
 $S(0)_{n}CH_{2}$
 N
 $CO_{2}R^{4}$
 R^{2}

The title compds. I [R1, R2 = H, lower (halo)alkyl, lower alkoxy, halo;

R3

= NR5R6, .gtoreq.1 N-contg. (substituted) (un)satd. heterocyclyl; R4 = H, lower alkyl; R5, R6 = H, lower (alkoxy)alkyl, alkenyl, or alkynyl, cycloalkyl, hydroxyalkyl, (substituted) Ph or benzyl; X = NR7, O, S; R7 = H, lower alkyl; n = 0-2; if R1 = R2 = H, X = NH, and n = 1, then R3 .noteq. NMe2, NEtMe, nor morpholino] or their salts are prepd. I (R1 = R2

Ι

= H, R3 = C1, R4 = Et, X = NH, n = 0) (prepn. given) in THF was treated with aq. MeNH2 at room temp. for 1 h to give 89% I (R1 = R2 = H, R3 = NHMe, R4 = Et, X = NH, n = 0). I (R1 = Me, R2 = H, R3 = NMe2, R4 = Et, X = NH, n = 1) (II) showed 92% inhibition of acute gastric mucosal damage caused by EtOH, vs. 90%, for omeprazole. A tablet contg. II was formulated.

RN 150065-44-4 CAPLUS CN 5-Pyrimidinecarboxylic acid, 4-chloro-2-[[(1-methyl-1H-benzimidazol-2-yl)thio]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ N \\ \hline \\ N \\ \end{array}$$

$$S-CH_2 \begin{array}{c} N \\ \hline \\ N \\ \end{array}$$

$$C-OEt \\ C1 \\ O$$

IT 150065-33-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and chlorination of)

150065-33-1 CAPLUS RN

5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[[(1-methyl-1H-benzimidazol-2-CN yl)thio]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
Me \\
N \\
N \\
N
\end{array}$$

$$S - CH_2 \longrightarrow N \\
HN \longrightarrow C - OEt \\
0 O$$

150064-45-2P 150065-20-6P ΙT

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as ulcer inhibitor)

150064-45-2 CAPLUS RN

5-Pyrimidinecarboxylic acid, 4-(dimethylamino)-2-[[(1-methyl-1H-CN benzimidazol-2-yl)thio]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ N \\ \hline \\ N \\ \end{array}$$

$$S-CH_2 \begin{array}{c} N \\ \hline \\ N \\ \end{array}$$

$$C-OEt$$

$$NMe_2 O$$

150065-20-6 CAPLUS RN

5-Pyrimidinecarboxylic acid, 4-(dimethylamino)-2-[[(1-methyl-1H-CN benzimidazol-2-yl)sulfinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)